Jan Delaval please

(2)

Access DB# 59924

SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: Sabiha Qazi Examiner #: 74141 Date: 2/5/02

Art Unit: 1616 Phone Number 305-3910 Serial Number: [redacted] 09/779,331

Mail Box and Bldg/Room Location: 2D19, CM1 3807 Results Format Preferred (circle): PAPER DISK E-MAIL

If more than one search is submitted, please prioritize searches in order of need. MEP

****

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: Estrogenic Compds as Antiangiogenic agents

Inventors (please provide full names): D'Amato et al.

Earliest Priority Filing Date: 7/5/01

For Sequence Searches Only Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.

Pl. note 09/899,702 Contains NO Rh_1 + Rh_2 Substituents

Elected Species

09/899,702

compd of cl 8.

09/779,331

compd of cl 8

RECEIVED
FEB - 8 2002
TECH CENTER (STIC)

Jan Delaval
Reference Librarian
Biotechnology & Chemical Library
CM1 1E07 - 703-308-4498
jan.delaval@uspto.gov

Please see attached sheets

thank you

****

STAFF USE ONLY	Type of Search	Vendors and cost where applicable
Searcher: Jan	NA Sequence (#)	STN ✓
Searcher Phone #: 4498	AA Sequence (#)	Dialog
Searcher Location:	Structure (#) ✓	Questel/Orbit
Date Searcher Picked Up: 2/5/02	Bibliographic	Dr.Link
Date Completed: 2/5/02	Litigation	Lexis/Nexis
Searcher Prep & Review Time:	Fulltext	Sequence Systems
Clerical Prep Time: 15	Patent Family	WWW/Internet
Online Time: +32	Other	Other (specify)

PTO-1590 (8-01)

Jan Delaval please

Access DB# 55524

SEARCH REQUEST FORM

Scientific and Technical Information Center

2/5/02

Requester's Full Name: Sabiha Qazi Examiner #: 74141 Date: 8/30/01
Art Unit: 1616 Phone Number 305-3910 Serial Number: 09/779,331
Mail Box and Bldg/Room Location: 2D19, CM1 3B07 Results Format Preferred (circle): PAPER DISK E-MAIL

If more than one search is submitted, please prioritize searches in order of need.

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Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: Antiangiogenic agents

Inventors (please provide full names): Eggnego Gregory Agoston et al

Earliest Priority Filing Date: 7/5/01

**For Sequence Searches Only* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.*

Please see attached sheet + request for search 09/895,702

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Reference Librarian
Biotechnology & Chemical Library
CM1 1E07 - 703-308-4498
jan.delaval@uspto.gov

****

STAFF USE ONLY

Searcher: _____
Searcher Phone #: 14498
Searcher Location: _____
Date Searcher Picked Up: 2/6/02
Date Completed: 2/8/02
Searcher Prep & Review Time: _____
Clerical Prep Time: 15
Online Time: 1:30

Type of Search

NA Sequence (#) _____
AA Sequence (#) _____
Structure (#) ✓
Bibliographic _____
Litigation _____
Fulltext _____
Patent Family _____
Other _____

Vendors and cost where applicable

STN ✓
Dialog _____
Questel/Orbit _____
Dr.Link _____
Lexis/Nexis _____
Sequence Systems _____
WWW/Internet _____
Other (specify) _____

PTO-1590 (1-2000)

Jan Delaval
Reference Librarian
Biotechnology & Chemical Library
CM1 1E07 – 703-308-4498
jan.delaval@uspto.gov

$%^STN;HighlightOn=;**HighlightOff=;**
=> fil reg
FILE 'REGISTRY' ENTERED AT 16:17:14 ON 19 FEB 2002

STRUCTURE FILE UPDATES: 18 FEB 2002 HIGHEST RN 393508-26-4
DICTIONARY FILE UPDATES: 18 FEB 2002 HIGHEST RN 393508-26-4

TSCA INFORMATION NOW CURRENT THROUGH July 7, 2001

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Calculated physical property data is now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

The P indicator for Preparations was not generated for all of the CAS Registry Numbers that were added to the H/Z/CA/CAplus files between 12/27/01 and 1/23/02. Use of the P indicator in online and SDI searches during this period, either directly appended to a CAS Registry Number or by qualifying an L-number with /P, may have yielded incomplete results. As of 1/23/02, the situation has been resolved. Also, note that searches conducted using the PREP role indicator were not affected.

Customers running searches and/or SDIs in the H/Z/CA/CAplus files incorporating CAS Registry Numbers with the P indicator between 12/27/01 and 1/23/02, are encouraged to re-run these strategies. Contact the CAS Help Desk at 1-800-848-6533 in North America or 1-614-447-3698, worldwide, or send an e-mail to help@cas.org for further assistance or to receive a credit for any duplicate searches.

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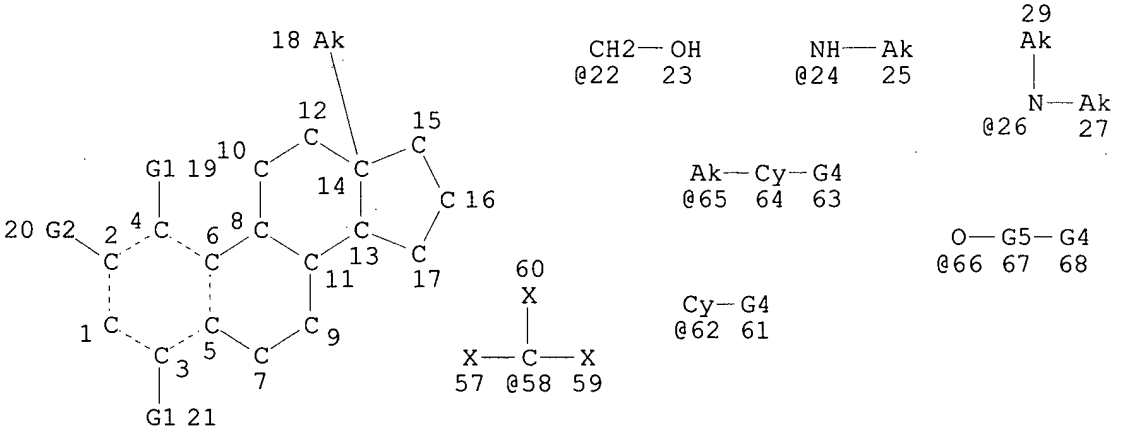
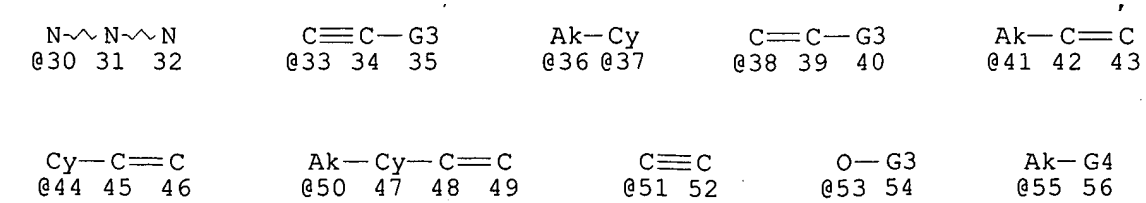
L3 STR





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NODE ATTRIBUTES:
CONNECT IS M1 RC AT 1
CONNECT IS M1 RC AT 7
CONNECT IS M1 RC AT 15
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 67

STEREO ATTRIBUTES: NONE
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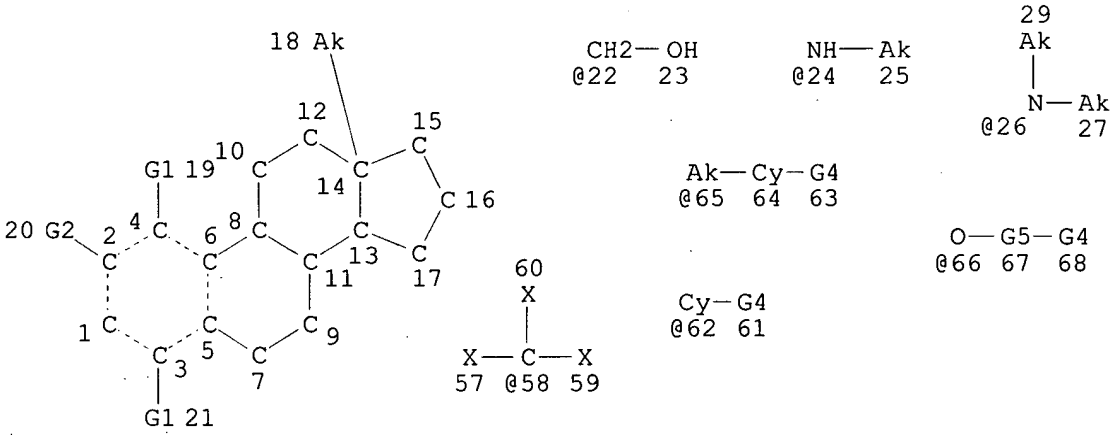

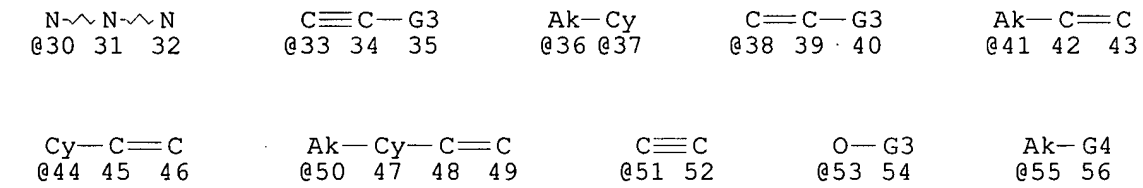

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VAR G2=30/CN/33/38/41/44/50/51/53/55/62/65/66
VAR G3=AK/CY/36
VAR G4=OH/NH2/X/58
VAR G5=AK/CY/36-66 37-68
NODE ATTRIBUTES:
CONNECT IS M1 RC AT 1
CONNECT IS M1 RC AT 7
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DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
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NUMBER OF NODES IS 67

STEREO ATTRIBUTES: NONE
L7 489 SEA FILE=REGISTRY CSS FUL L5

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L5              STR L3
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L7          489 S L5 CSS FUL
                SAV L7 QAZ799/A
                ACT QAZ899A/A
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L8              STR
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L11         152 SEA FILE=REGISTRY SUB=L9 CSS FUL L10
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L27           1 S L26 CSS SAM SUB=L14
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L30          95 S L7 NOT L4
L31          71 S L30 NOT L28
L32          54 S L31 NOT OC5/ES
L33          47 S L32 AND C5-C6-C6-C6/ES
L34           4 S L33 AND C26H29CLO3
L35           2 S L34 NOT (54502-28-2 OR 54502-30-6)
L36           7 S L29,L35
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L37           1 S L36 AND C21H27NO2
L38           6 S L36 NOT L37

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L41           1 S L37
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L43          3 S L41,L42
L44         14 S L7 AND (D AMATO R? OR DAMATO R? OR AMATO ? OR VARMA R? OR HAU
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L46          5 S L43,L45

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L37  ANSWER 1 OF 1  REGISTRY  COPYRIGHT 2002 ACS
RN   258278-72-7  REGISTRY
CN   Estra-1,3,5(10)-triene-2-carbonitrile, 3,17-dihydroxy-16,16-dimethyl-,
     (17.beta.)- (9CI)  (CA INDEX NAME)
OTHER NAMES:
CN   EM 1926
FS   STEREOSEARCH
MF   C21 H27 N O2
SR   CA
LC   STN Files:   CA, CAPLUS, USPATFULL

Absolute stereochemistry.
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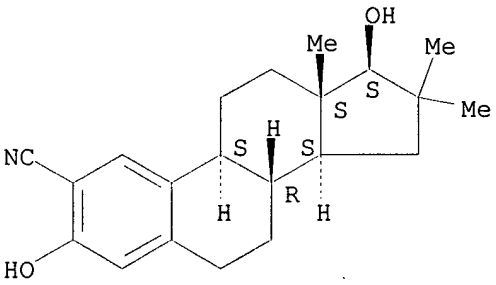

"Species"

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               1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE   1:  132:152024


=> d ide can l38 tot

L38  ANSWER 1 OF 6  REGISTRY  COPYRIGHT 2002 ACS
RN   202397-97-5  REGISTRY
CN   Estra-1,3,5(10)-triene-3,17-diol, 16-fluoro-2-methoxy-,
     (16.alpha.,17.alpha.)- (9CI)  (CA INDEX NAME)
FS   STEREOSEARCH
MF   C19 H25 F O3
SR   CA
LC   STN Files:   CA, CAPLUS, TOXLIT

Absolute stereochemistry.
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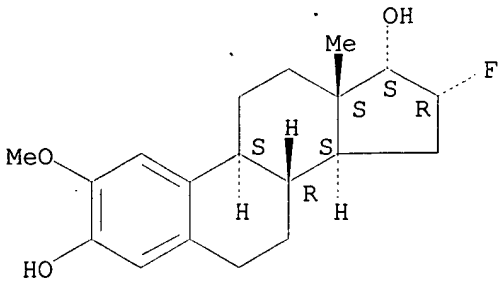

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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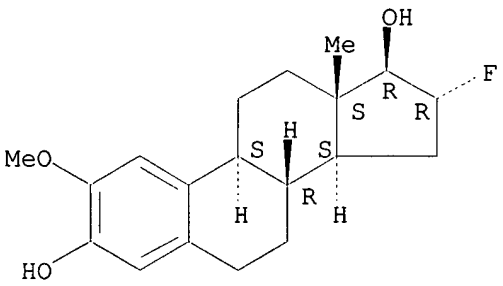
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 128:138115

L38 ANSWER 2 OF 6 REGISTRY COPYRIGHT 2002 ACS
RN 202397-93-1 REGISTRY
CN Estra-1,3,5(10)-triene-3,17-diol, 16-fluoro-2-methoxy-, (16.alpha.,17.beta.)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF **C19 H25 F O3**
SR CA
LC STN Files: CA, CAPLUS, TOXLIT

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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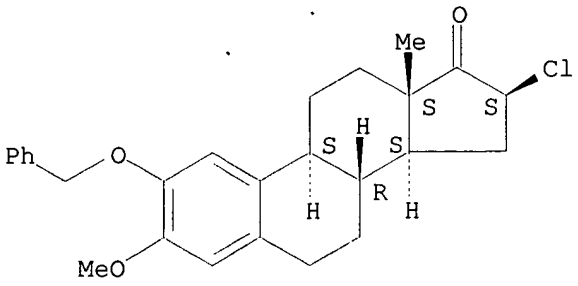
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 128:138115

L38 ANSWER 3 OF 6 REGISTRY COPYRIGHT 2002 ACS
RN 54502-35-1 REGISTRY
CN Estra-1,3,5(10)-trien-17-one, 16-chloro-3-methoxy-2-(phenylmethoxy)-, (16.beta.)- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN 2-Benzyloxy-16.beta.-chloro-3-methoxyestra-1,3,5(10)-trien-17-one
FS STEREOSEARCH
MF **C26 H29 Cl O3**
LC STN Files: BEILSTEIN*, CA, CAPLUS
(*File contains numerically searchable property data)

Absolute stereochemistry.



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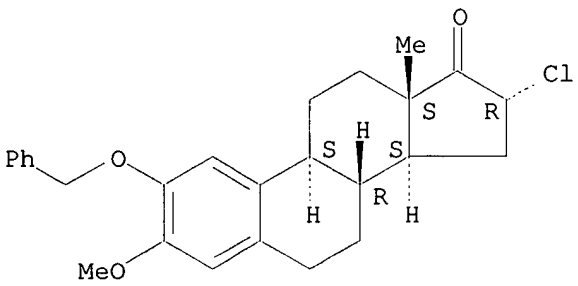
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 82:43650

L38 ANSWER 4 OF 6 REGISTRY COPYRIGHT 2002 ACS
RN 54502-32-8 REGISTRY
CN Estra-1,3,5(10)-trien-17-one, 16-chloro-3-methoxy-2-(phenylmethoxy)-, (16.alpha.)- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN 2-Benzyloxy-16.alpha.-chloro-3-methoxyestra-1,3,5(10)-trien-17-one
FS STEREOSEARCH
MF **C26 H29 Cl O3**
LC STN Files: BEILSTEIN*, CA, CAPLUS
(*File contains numerically searchable property data)

Absolute stereochemistry.



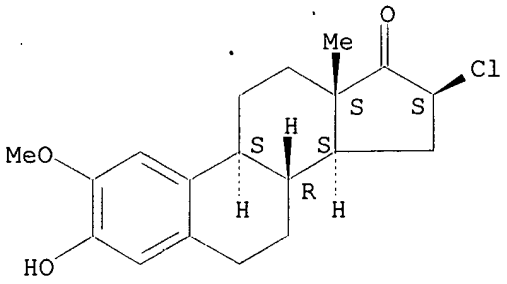
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 82:43650

L38 ANSWER 5 OF 6 REGISTRY COPYRIGHT 2002 ACS
RN 54502-31-7 REGISTRY
CN Estra-1,3,5(10)-trien-17-one, 16-chloro-3-hydroxy-2-methoxy-, (16.beta.)- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN 16.beta.-Chloro-3-hydroxy-2-methoxyestra-1,3,5(10)-trien-17-one
FS STEREOSEARCH
MF **C19 H23 Cl O3**
LC STN Files: BEILSTEIN*, CA, CAPLUS
(*File contains numerically searchable property data)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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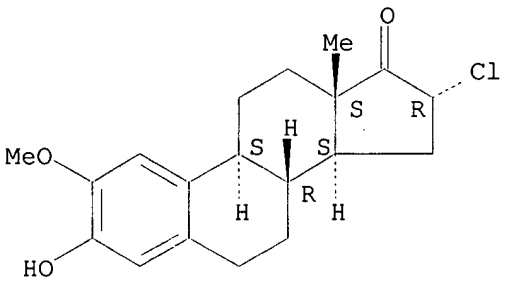
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 82:43650

L38 ANSWER 6 OF 6 REGISTRY COPYRIGHT 2002 ACS
RN 54502-29-3 REGISTRY
CN Estra-1,3,5(10)-trien-17-one, 16-chloro-3-hydroxy-2-methoxy-, (16.alpha.)-(9CI) (CA INDEX NAME)
OTHER NAMES:
CN 16.alpha.-Chloro-3-hydroxy-2-methoxyestra-1,3,5(10)-trien-17-one
FS STEREOSEARCH
MF **C19 H23 Cl O3**
LC STN Files: BEILSTEIN*, CA, CAPLUS
(*File contains numerically searchable property data)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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REFERENCE 1: 82:43650

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USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 18 Feb 2002 VOL 136 ISS 8
FILE LAST UPDATED: 17 Feb 2002 (20020217/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

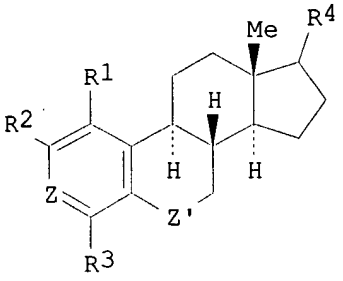
=> d l46 bib abs hitstr tot

L46 ANSWER 1 OF 5 HCAPLUS COPYRIGHT 2002 ACS
AN 2002:11127 HCAPLUS
DN 136:64669
TI Estrogenic compounds as antiangiogenic agents
IN **D'Amato, Robert J.; Varma, Ravi K.; Haugwitz, Rudiger G.; Cushman, Mark**
PA USA
SO U.S. Pat. Appl. Publ., 14 pp., Cont. of U.S. Ser. No. 154,322, abandoned.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2002002294	A1	20020103	US 2001-899702	20010705
PRAI US 1997-59916	P	19970924		
US 1998-154322 Abn	B1	19980916		

OS MARPAT 136:64669
GI

09/899702 continuation



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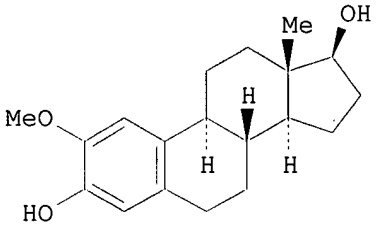


II

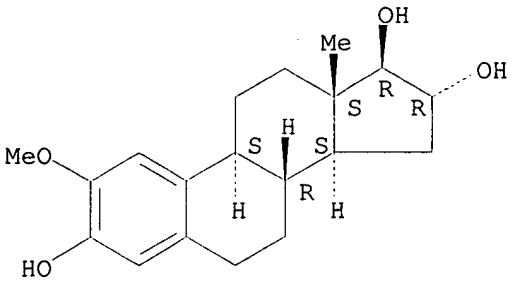
AB 2-Methoxyestradiol derivs., such as I [R1, R3 = H, Cl, Br, I, F, CN, alkyl, OH, CH2OH, NH2, alkylamino; R2 = N3, CN, C.tplbond.CR, C=CHR, RCH=CH2, C.tplbond.CH, OR, R-R1, OR-R1 (R = alkyl, R1 = OH, NH2, Cl, Br, I, F, CF3); Z = CH, COH, CR2-OH (R2 = alkyl, aralkyl); Z' = CH2, CO, CH(OH); C=NOH, C=NOR5, CHC.tplbond.N, CHNR5R5 (R5 = H, alkyl, aralkyl)], were used for treating mammalian disease characterized by undesirable angiogenesis. Thus, 2-methoxyestradiol (II) showed inhibition of tubulin polymn. (IC50 = 3.6.+-.0.4 .mu.M), inhibition of colchicine binding to tubulin (1.9.+-.0.2 .mu.M) and antitumor activity against breast, CNS, melanoma, ovarian tumor cell assay in vitro.

IT **1236-72-2**, 2-Methoxyestriol
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(estrogenic compds. as antiangiogenic agents)

RN 1236-72-2 HCAPLUS

CN Estra-1,3,5(10)-triene-3,16,17-triol, 2-methoxy-, (16.alpha.,17.beta.)-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L46 ANSWER 2 OF 5 HCAPLUS COPYRIGHT 2002 ACS
AN 2000:116882 HCAPLUS
DN 132:152024
TI Preparation of steroids as inhibitors of type 3 3.alpha.-hydroxysteroid dehydrogenase
IN Labrie, Fernand; Merand, Yves; Gauthier, Sylvain; Provencher, Louis; Luu-The, Van
PA Endorecherche, Inc., Can.
SO PCT Int. Appl., 140 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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	EP 1102582	A2	20010530	EP 1999-936218	19990806
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OS MARPAT 132:152024
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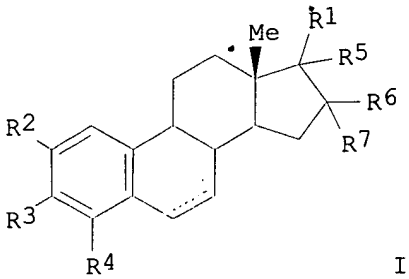

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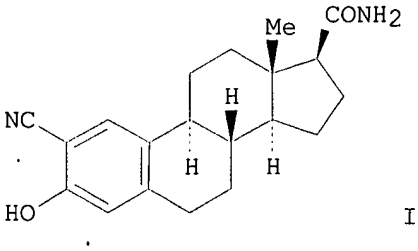


II

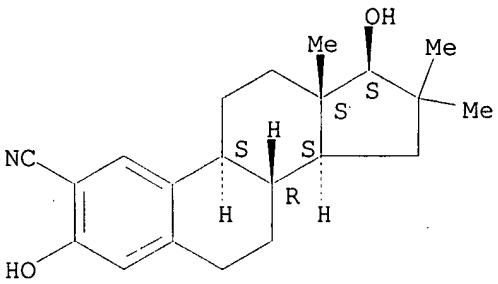
AB Novel methods of treating and/or inhibiting development of prostatic cancer, benign prostatic hyperplasia, prostatitis, acne, seborrhea, hirsutism or androgenic alopecia utilize inhibitors of type 3 3.alpha.-hydroxysteroid dehydrogenase alone or in combination with other active pharmaceuticals such as inhibitors of type 5 17.beta.-hydroxysteroid dehydrogenase. The inhibitors, of formula I [R1 = OH, acyloxy, alkoxy, amido, etc.; R2, R4 = H, CN, F, Cl, Br, NO2; R3 = alkoxy, acyloxy, alkoxycarbonyloxy, OH, carbamate; R5 = H, alkyl, etc.; R1R5 = O, lactone ring; R6, R7 = H, alkyl, benzyl; R6R7 = cycloalkene], are prepd. Thus, II showed 98% inhibition of the transformation of 4-dione by type 3 3.alpha.-HSD. Pharmaceutical compns. contg. I are described.

IT **258278-72-7P**, EM 1926
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of steroids as inhibitors of type 3 3.alpha.-hydroxysteroid dehydrogenase)

RN 258278-72-7 HCAPLUS

CN Estra-1,3,5(10)-triene-2-carbonitrile, 3,17-dihydroxy-16,16-dimethyl-, (17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L46 ANSWER 3 OF 5 HCAPLUS COPYRIGHT 2002 ACS

AN 1997:805513 HCAPLUS

DN 128:138115

TI The metabolism of 16-fluoroestradiols in vivo: chemical strategies for restricting the oxidative biotransformations of an estrogen-receptor imaging agent

AU Stalford, Anne C.; Maggs, James L.; Gilchrist, Thomas L.; Park, B. Kevin

CS Department of Pharmacology and Therapeutics, University of Liverpool, Liverpool, L69 3BX, UK

SO Steroids (1997), 62(12), 750-761
CODEN: STEDAM; ISSN: 0039-128X

PB Elsevier Science Inc.

DT Journal

LA English

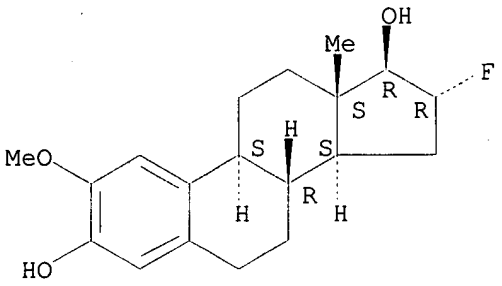
AB 16.alpha.-Fluoro-17.beta.-, 16.alpha.-fluoro-17.alpha.-, and 16.beta.-fluoro-17.beta.-[6,7-3H]estradiol were prepd. from

[6,7-3H]estrone via fluorination of 3,17-bis(tert-butyldimethylsilyloxy)-[6,7-3H]estratetraene with N-fluoropyridinium triflate and redn. of 16.alpha./.beta.-fluoro[6,7-3H]estrone with NaBH4. The three isomers were sepd. by silica-phase high-performance liq. chromatog. They were administered i.v. (4 .mu.mol/kg) to anesthetized male rats. Their biliary metabolites (90-97% of dose over 6 h) were characterized by high performance liq. chromatog.-mass spectrometry and compared with those of [6,7-3H]17.beta.-estradiol. The four estrogens and their hydroxylated and methoxylated metabolites were excreted as glucuronides. C-16 fluorination blocked C-16 hydroxylation and also the dehydrogenation of the C-17 hydroxyl group. The 16.alpha.-17.beta. isomer was extensively glucuronylated at C(O)3 but also underwent arom. hydroxylation and methoxylation before conjugation. Its C-17 epimer was subject to much greater arom. hydroxylation but the catecholestrogen was O-methylated to a greater relative extent. The 16.beta.-17.beta. deriv. underwent alicyclic as well as substantial arom. hydroxylation and yielded numerous isomeric glucuronides of O-methylated catechols. Thus, the fluorine exerted complex effects (inhibitory and enhancing) on both localized (D-ring) and distal (A-ring) biotransformations of the estradiol mol.; the direction and magnitude of the effects being dependent upon the stereochem. at C-16 and C-17. These findings provide structural guidelines for restricting the metab. of tumor-imaging fluoroestrogens and thereby enhancing their delivery to the target tissue.

IT **202397-93-1 202397-97-5**
RL: MFM (Metabolic formation); BIOL (Biological study); FORM (Formation, nonpreparative)
(metab. of 16-fluoroestradiols in vivo: chem. strategies for restricting oxidative biotransformations of estrogen-receptor imaging agent)

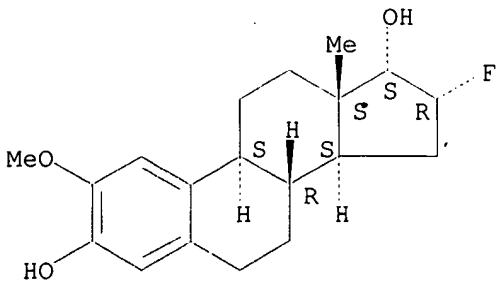
RN 202397-93-1 HCAPLUS
CN Estra-1,3,5(10)-triene-3,17-diol, 16-fluoro-2-methoxy-, (16.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

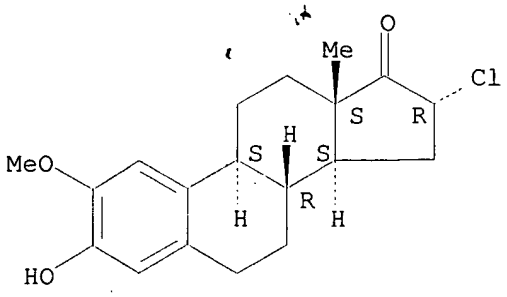
Absolute stereochemistry.



RN 202397-97-5 HCAPLUS
CN Estra-1,3,5(10)-triene-3,17-diol, 16-fluoro-2-methoxy-, (16.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

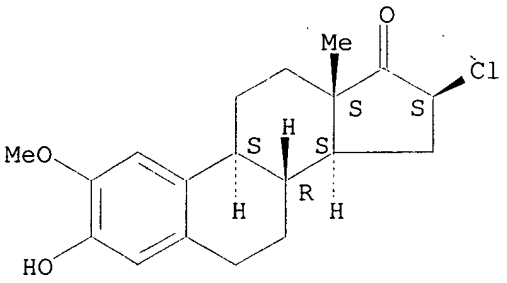
Absolute stereochemistry.





RN 54502-31-7 HCAPLUS
CN Estra-1,3,5(10)-trien-17-one, 16-chloro-3-hydroxy-2-methoxy-, (16.beta.)-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



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CA INDEXING COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPAT2' ENTERED AT 16:18:45 ON 19 FEB 2002
CA INDEXING COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)

=> d bib abs hitstr

L47 ANSWER 1 OF 1 USPATFULL
AN 1999:143299 USPATFULL
TI Selective denial of encrypted high precision data by indirect keying
IN Clark, James Monroe, Verona, NJ, United States
PA ITT Corporation, New York, NY, United States (U.S. corporation)
PI US 5982897 19991109
AI US 1998-95623 19980610 (9)
RLI Continuation of Ser. No. US 1995-429519, filed on 26 Apr 1995, now abandoned
DT Utility
FS Granted
EXNAM Primary Examiner: Hayes, Gail O.; Assistant Examiner: Sayadian, Hrayr A.
LREP Plevy, Arthur L.
CLMN Number of Claims: 24
ECL Exemplary Claim: 21
DRWN 4 Drawing Figure(s); 3 Drawing Page(s)
LN.CNT 655
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB High precision transmitted navigational data as encrypted data transmitted by global positioning (GPS) satellites is made unavailable in regions designated as hostile and during desired intervals, while allowing the data to be available outside the hostile region. All satellites in the GPS constellation transmit the high precision navigational data in encrypted form. However, only the satellites that

SO PCT Int. Appl., 140 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM A61K031-00
CC 32-3 (Steroids)
Section cross-reference(s): 1, 63
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000007576	A2	20000217	WO 1999-CA724	19990806
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	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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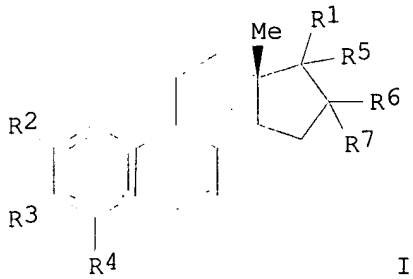
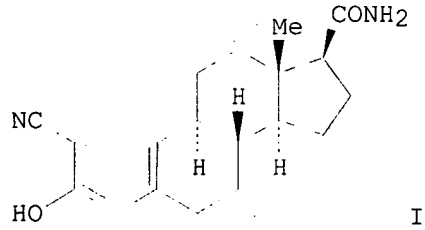
	NO 2001000651	A	20010405	NO 2001-651	20010207
PRAI	US 1998-95623P	P	19980807		
	US 1998-77510P	P	19980311		
	EP 1999-907207	A3	19990310		
	WO 1999-CA724	W	19990806		

OS MARPAT 132:152024
GI



I



II

AB Novel methods of treating and/or inhibiting development of prostatic cancer, benign prostatic hyperplasia, prostatitis, acne, seborrhea, hirsutism or androgenic alopecia utilize inhibitors of type 3 3α-hydroxysteroid dehydrogenase alone or in combination with other active pharmaceuticals such as inhibitors of type 5 17β-hydroxysteroid dehydrogenase. The inhibitors, of formula I [R1 = OH, acyloxy, alkoxy, amido, etc.; R2, R4 = H, CN, F, Cl, Br, NO2; R3 = alkoxy, acyloxy, alkoxycarbonyloxy, OH, carbamate; R5 = H, alkyl, etc.; R1R5 = O, lactone ring; R6, R7 = H, alkyl, benzyl; R6R7 = cycloalkene], are prepared Thus, II showed 98% inhibition of the transformation of 4-dione by type 3 3α-HSD. Pharmaceutical compns. containing I are described.

ST hydroxysteroid dehydrogenase inhibitor steroid prepn

IT Prostate gland
(benign hyperplasia, treatment; preparation of steroids as inhibitors of type 3 3α-hydroxysteroid dehydrogenase)

IT Steroids, preparation
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of steroids as inhibitors of type 3 3α-hydroxysteroid dehydrogenase)

IT Prostate gland
(prostatitis, treatment; preparation of steroids as inhibitors of type 3 3α-hydroxysteroid dehydrogenase)

IT Acne
Alopecia
Hirsutism
Neoplasm
Seborrhea
(treatment; preparation of steroids as inhibitors of type 3 3α-hydroxysteroid dehydrogenase)

IT 243638-19-9P 243638-38-2P 243638-40-6P 243638-41-7P 243836-42-2P 257953-50-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of steroids as inhibitors of type 3 3α-hydroxysteroid dehydrogenase)

IT 50-28-2P, Estradiol, preparation 1630-83-7P 16205-32-6P 93947-11-6P 93995-38-1P 243638-03-1P, EM 01667C 243638-98-4P, EM 01645 243640-08-6P 243640-10-0P 243836-37-5P 243836-40-0P, EM 1125 243841-16-9P 243841-55-6P 243841-61-4P 257953-48-3P 257953-49-4P 257953-51-8P 257953-52-9P 257953-53-0P 257953-54-1P 257953-55-2P 257953-56-3P 258278-38-5P, EM 1834 258278-49-8P, EM 1836 **258278-72-7P**, EM 1926 258278-74-9P, EM 2132 258278-77-2P, EM 2318 258278-78-3P, EM 2330 258278-79-4P, EM 2359
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of steroids as inhibitors of type 3 3α-hydroxysteroid dehydrogenase)

IT 9028-56-2, 3α-Hydroxy steroid dehydrogenase
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(preparation of steroids as inhibitors of type 3 3α-hydroxysteroid dehydrogenase)

IT 257953-61-0P 257953-62-1P
RL: BYP (Byproduct); PREP (Preparation)
(preparation of steroids as inhibitors of type 3 3α-hydroxysteroid dehydrogenase)

IT 53-16-7, Estrone, reactions 521-18-6, Dihydrotestosterone 1624-62-0 6921-34-2, Benzylmagnesium chloride 40365-61-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of steroids as inhibitors of type 3 3α-hydroxysteroid dehydrogenase)

IT 3262-23-5P 5976-73-8P, 2-Nitroestrone 54793-02-1P 57711-40-7P 58701-44-3P 165619-18-1P 225245-08-9P 225245-12-5P 243637-93-6P 243637-94-7P 243637-95-8P 243637-96-9P 243637-97-0P 243637-98-1P 243637-99-2P 243638-00-8P 243638-01-9P 243638-02-0P 243638-17-7P 243638-18-8P 243638-22-4P 257953-57-4P 257953-58-5P 257953-59-6P 257953-60-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

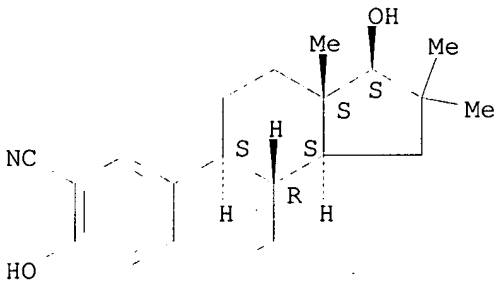
(preparation of steroids as inhibitors of type 3 3α-hydroxysteroid dehydrogenase)

IT 5976-74-9P, 4-Nitroestrone
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of steroids as inhibitors of type 3 3α-hydroxysteroid dehydrogenase)

IT **258278-72-7P**, EM 1926
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of steroids as inhibitors of type 3 3α-hydroxysteroid dehydrogenase)

RN 258278-72-7 HCAPLUS
CN Estra-1,3,5(10)-triene-2-carbonitrile, 3,17-dihydroxy-16,16-dimethyl-, (17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L31 ANSWER 2 OF 11 HCAPLUS COPYRIGHT 2003 ACS on STN
AN 1997:805513 HCAPLUS
DN 128:138115
ED Entered STN: 26 Dec 1997
TI The metabolism of 16-fluoroestradiols in vivo: chemical strategies for restricting the oxidative biotransformations of an estrogen-receptor imaging agent
AU Stalford, Anne C.; Maggs, James L.; Gilchrist, Thomas L.; Park, B. Kevin
CS Department of Pharmacology and Therapeutics, University of Liverpool, Liverpool, L69 3BX, UK
SO Steroids (1997), 62(12), 750-761
CODEN: STEDAM; ISSN: 0039-128X
PB Elsevier Science Inc.
DT Journal
LA English
CC 8-9 (Radiation Biochemistry)
Section cross-reference(s): 32
AB 16α-Fluoro-17β-, 16α-fluoro-17α-, and 16β-fluoro-17β-[6,7-3H]estradiol were prepared from [6,7-3H]estrone via fluorination of 3,17-bis(tert-butyldimethylsilyloxy)-[6,7-3H]estratetraene with N-fluoropyridinium triflate and reduction of 16α/β-fluoro[6,7-3H]estrone with NaBH4. The three isomers were separated by silica-phase high-performance liquid chromatog. They were administered i.v. (4 μmol/kg) to anesthetized male rats. Their biliary metabolites (90-97% of dose over 6 h) were characterized by high performance liquid chromatog.-mass spectrometry and compared with those of [6,7-3H]17β-estradiol. The four estrogens and their hydroxylated and methoxylated metabolites were excreted as glucuronides. C-16 fluorination blocked C-16 hydroxylation and also the dehydrogenation of the C-17 hydroxyl group. The 16α-17β isomer was extensively glucuronylated at C(O)3 but also underwent aromatic hydroxylation and methoxylation before conjugation. Its C-17 epimer was subject to much

are not visible to the hostile region transmit the periodic key necessary to decrypt the data. The periodic key changes after a predetermined time interval. During a given time interval the same key value is used by all satellites for encryption of the high precision navigational data. A receiver can obtain the current periodic key from any visible satellite which is transmitting the periodic key. This key is then used to decrypt the high precision navigational data from that satellite and all other visible satellites. As a result, users in the hostile region are denied access to the high precision navigational data because they are unable to obtain the periodic key necessary to decrypt the data.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **258278-72-7P**, EM 1926
(prepn. of steroids as inhibitors of type 3 3.alpha.-hydroxysteroid dehydrogenase)
RN 258278-72-7 USPATFULL
CN Estra-1,3,5(10)-triene-2-carbonitrile, 3,17-dihydroxy-16,16-dimethyl-, (17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.